

L1 ANSWER 3 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN
 ACCESSION NUMBER: 2002-445631 [48] WPIX
 DOC. NO. CPI: C2002-127043 [48]
 TITLE: New pyridinyl-substituted pyrazolo (3,4-b)
 pyridine derivatives, are soluble guanylate cyclase
 stimulants useful e.g. for treating cardiovascular or
 central nervous system diseases, sexual dysfunction or
 inflammation
 DERWENT CLASS: B02
 INVENTOR: ALONSO-ALIJA C; DEMBOVSKY K; DEMBOWSKY K; FEURER
 A;
 J; FLUBACHER D; LANG D; PERZBORN E; STAHL E; STASCH
 STASCH J P; STRAUB A; WEIGAND S; WUNDER F
 PATENT ASSIGNEE: (ALON-I) ALONSO-ALIJA C; (FARB-C) BAYER AG;
 (FARB-C) BAYER HEALTHCARE AG; (DEMB-I) DEMBOWSKY K; (FEUR-
 I) FEURER A; (FLUB-I) FLUBACHER D; (LANG-I) LANG D;
 (PERZ-I) PERZBORN E; (STAH-I) STAHL E; (STAS-I) STASCH J;
 (STRA-I) STRAUB A; (WEIG-I) WEIGAND S; (WUND-I) WUNDER F
 COUNTRY COUNT: 98

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 10131987	A1	20020523	(200248)*	DE	16[0]	
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WO 2002042301	A1	20020530	(200248)	DE		
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AU 2002020692	A	20020603	(200263)	EN		
US 20020173514	A1	20021121	(200279)	EN		
NO 2003002299	A	20030702	(200356)	NO		
EP 1343786	A1	20030917	(200362)	DE		
BR 2001015477	A	20030819	(200367)	PT		
SK 2003000591	A3	20031007	(200369)	SK		
CZ 2003001435	A3	20031015	(200374)	CS		
KR 2003065519	A	20030806	(200402)	KO		
US 6693102	B2	20040217	(200413)	EN		
HU 2003003283	A2	20040128	(200415)	HU		
JP 2004521872	W	20040722	(200448)	JA	65	
ZA 2003003887	A	20040825	(200466)	EN	41	
TW 582998	A	20040411	(200468)	ZH		
MX 2003004500	A1	20040501	(200482)	ES		
CZ 294648	B6	20050216	(200515)	CS		
CN 1555374	A	20041215	(200519)	ZH		
EP 1343786	B1	20050629	(200543)	DE		
DE 50106655	G	20050804	(200552)	DE		
ES 2243598	T3	20051201	(200625)	ES		

MX 234170	B	20060207 (200649)	ES
NZ 525963	A	20060929 (200667)	EN

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 10131987 A1		DE 2001-10131987	20010702
US 20020173514 A1		US 2001-1569	20011101
US 6693102 B2		US 2001-1569	20011101
BR 2001015477 A		BR 2001-15477	20011109
CN 1555374 A		CN 2001-822206	20011109
DE 50106655 G		DE 2001-506655	20011109
EP 1343786 A1		EP 2001-997489	20011109
EP 1343786 B1		EP 2001-997489	20011109
DE 50106655 G		EP 2001-997489	20011109
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NO 2003002299 A		WO 2001-EP12969	20011109
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JP 2004521872 W		WO 2001-EP12969	20011109
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DE 50106655 G		WO 2001-EP12969	20011109
MX 234170 B		WO 2001-EP12969	20011109
TW 582998 A		TW 2001-128638	20011120
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CZ 2003001435 A3		CZ 2003-1435	20011109
CZ 294648 B6		CZ 2003-1435	20011109
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SK 2003000591 A3		SK 2003-591	20011109
ZA 2003003887 A		ZA 2003-3887	20030519
KR 2003065519 A		KR 2003-706853	20030521
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NO 2003002299 A		NO 2003-2299	20030521
NZ 525963 A		NZ 2001-525963	20011109
NZ 525963 A		WO 2001-EP12969	20011109

FILING DETAILS:

PATENT NO	KIND	PATENT NO
CZ 294648	B6	Previous Publ
DE 50106655	G	Based on
ES 2243598	T3	Based on
AU 2002020692	A	Based on
EP 1343786	A1	Based on
BR 2001015477	A	Based on
CZ 200301435	A	
EP 1343786	A	
EP 1343786	A	
WO 2002042301	A	
WO 2002042301	A	
WO 2002042301	A	

SK	2003000591	A3	Based on	WO	2002042301	A
CZ	2003001435	A3	Based on	WO	2002042301	A
HU	2003003283	A2	Based on	WO	2002042301	A
JP	2004521872	W	Based on	WO	2002042301	A
MX	2003004500	A1	Based on	WO	2002042301	A
CZ	294648	B6	Based on	WO	2002042301	A
EP	1343786	B1	Based on	WO	2002042301	A
DE	50106655	G	Based on	WO	2002042301	A
MX	234170	B	Based on	WO	2002042301	A
NZ	525963	A	Based on	WO	2002042301	A

PRIORITY APPLN. INFO: DE 2000-10057753 20001122
DE 2001-10131987 20010702

AN 2002-445631 [48] WPIX

AB DE 10131987 A1 UPAB: 20060119

NOVELTY - 4-Amino-2-(1-(2-fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-yl)-5-(3- or 4-pyridinyl)-pyrimidines (I) are new.

DETAILED DESCRIPTION - Pyrazolo-pyridine derivatives of formula (I)

and their salts, isomers and hydrates are new.

R1 = 3- or 4-pyridinyl;

R2 = H, NH2 or halo.

An INDEPENDENT CLAIM is included for the preparation of (I).

ACTIVITY - Cardiant; vasotropic; hypotensive; thrombolytic; anticoagulant; antiinflammatory; antiangina; antiarrhythmic; cerebroprotective; antiarteriosclerotic; antiasthmatic;

cytostatic;

osteopathic; uropathic; nootropic; neuroprotective;

antiparkinsonian;

vulnerary; anti-HIV; neuroleptic; tranquilizer; hypnotic;

antimigraine;

analgesic. 2-(1-(2-Fluorobenzyl)-1H-pyrazolo (3,4-b) pyridin-3-

yl)-5-(4-

pyridinyl)-4-pyrimidinamine (Ia) had vasorelaxant IC50 0.66 μ M

(as determined by inhibition of phenylephrine-induced contraction of rabbit aortic rings in vitro).

MECHANISM OF ACTION - Soluble guanylate cyclase stimulant. (I)

directly stimulate soluble guanylate cyclase and increase cellular cGMP levels, and thus cause vascular relaxation, inhibit thrombocyte aggregation, reduce blood pressure, increase coronary blood flow and

potentiate the action of compounds which increase cGMP levels.

USE - (I) are used as medicaments, specifically for

treating

cardiovascular diseases, hypertension, thromboembolic diseases, sexual

dysfunction, inflammation or central nervous system disorders (all claimed). Specific disorders to be treated include cardiac insufficiency,

stable or unstable angina pectoris, arrhythmia, myocardial infarction,

cerebral stroke, transitory ischemic attacks, peripheral blood
flow disorders, restenosis, arteriosclerosis, asthma, prostate
hypertrophy,
erectile dysfunction, female sexual dysfunction, osteoporosis,
gastroparesis, incontinence, cognitive disorders, age-associated
learning
and memory disorders, vascular dementia, cranial-cerebral trauma,
Alzheimer's disease, Parkinson's disease, progressive nuclear
palsy,
amyotrophic lateral sclerosis, Huntington's disease, multiple
sclerosis,
thalamic degeneration, Creutzfeld-Jacob dementia, HIV dementia,
schizophrenia, Korsakoff psychosis, anxiety, stress, depression,
sleep
disorders, eating disorders, migraine and pain.